



Patent Application
Attorney Docket No. PC10723A

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION OF: BRIAN T. O'NEILL, et al. :

APPLICATION NO.: 09/811,218

: Examiner:

Balasubramanian, Venkataraman

FILING DATE: March 16, 2001

: Group Art Unit: 1624

TITLE: BENZOAMIDE PIPERIDINE

CONTAINING COMPOUNDS AND

RELATED COMPOUNDS

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

DECLARATION OF DR. BRIAN T. O'NEILL

I, BRIAN T. O'NEILL, hereby declare and state:

1. I am a named inventor on the above-entitled patent application.
2. I am also the Brian T. O'Neill named as an inventor on WO 94/13663 ("Howard").
3. The following compounds fall within the Howard reference and were tested by me or under my direct supervision and control for reversal of induced foot tapping in gerbils. This technique is indicative of substance P antagonism. It is variously described in Smith et al. Jour. Pharm. Exp. Therap. 298, 3, 1252-1259, 2001; and N. M. J. Rupniak et al., (1995) 116, 1937-1943 British Journal of Pharmacology.

Compound 1:

(6-Methoxy-2-phenyl-benzothiazol-5-ylmethyl)-(2-phenyl-piperidin-3-yl)-amine

Compound 2:

(6-Methoxy-2-methyl-benzothiazol-5-ylmethyl)-(2-phenyl-piperidin-3-yl)-amine

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Compound 3:

6-Methoxy-3-methyl-5-[(2-phenyl-piperidin-3-ylamino)-methyl]-3H-benzooxazol-2-one

Dosage was 1 mg / kg (body weight). Time of measurement was 0.5 hr after administration.

4. The following compounds fall within the claims of the above-entitled patent application and were tested as stated in Paragraph 3 above.

Compound 4:

6-Methoxy-3-methyl-5-[(2-phenyl-piperidin-3-ylamino)-methyl]-1,1a,3,7b-tetrahydro-3-aza-cyclopropa[a]naphthalen-2-one

Compound 5:

6-Methoxy-3-methyl-5-[(6-methyl-2-phenyl-piperidin-3-ylamino)-methyl]-1,1a,3,7b-tetrahydro-3-aza-cyclopropa[a]naphthalen-2-one

Compound 6:

5-[(6-Ethyl-2-phenyl-piperidin-3-ylamino)-methyl]-6-methoxy-3-methyl-1,1a,3,7b-tetrahydro-3-aza-cyclopropa[a]naphthalen-2-one

Dosage was 0.32 mg / kg (body weight). Time of measurement was 0.5 hr after administration.

5. Results were as follows

<u>Howard</u>	<u>% reversal</u>
Compound 1	34%
Compound 2	1%
Compound 3	0%
<u>Invention</u>	
Compound 4	74%
Compound 5	67%
Compound 6	100%

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6. I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

Respectfully submitted,

Date: 7-3-03
Brian T. O'Neill

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